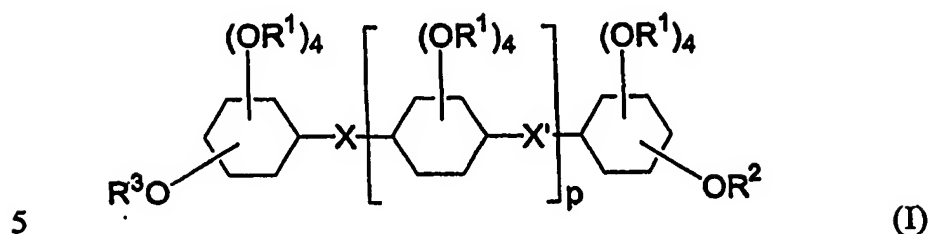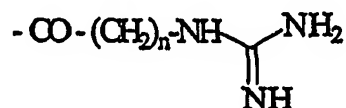## WHAT IS CLAIMED IS:

1. An inositol derivative of formula (I):

(I)
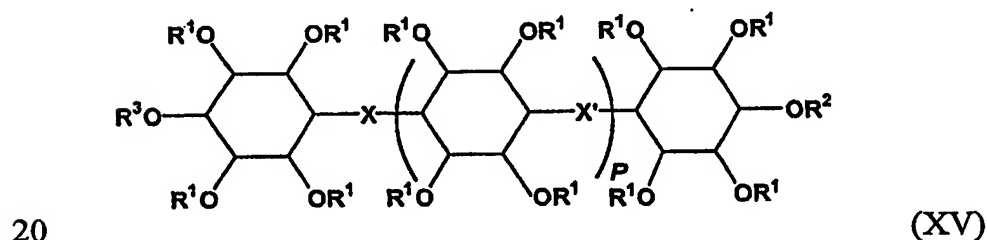
wherein

R^1 is $\quad$, where n is an integer in the range of 1 to 12;

R^2 and R^3 are each independently H, alkyl, arylalkyl, cycloalkyl, heteroalkyl, -$(CH_2)_m NHR'$, -$(CH_2)_l CO_2 R''$, -COR''' or -$SO_2 R''''$, where R', R'', R''' and R'''' are each alkyl, m is an integer in the range of 2 to 5, and l is an integer in the range of 1 to 5;

p is an integer in the range of 0 to 2; and

X and X' are each independently -O-CO-O-, -O-CO-NH-$(CH_2)_m$-O-, -O-CO-$(CH_2)_l$-O- or -O-$(CH_2)_l$-CO-NH-$(CH_2)_m$-O-, where m and l are the same as defined above.

2. The inositol derivative of claim 1, which is represented by formula (XV):
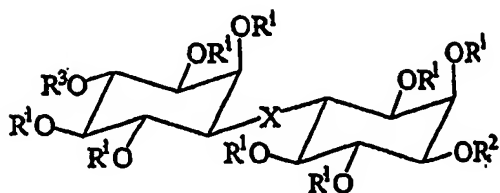

(XV)

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wherein R^1, R^2, R^3, X, X' and p are the same as defined in claim 1.

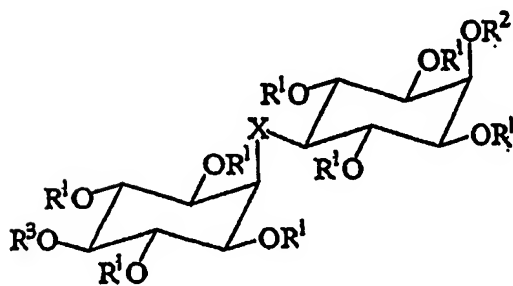3.   The inositol derivative of claim 1, wherein p is 0 or 1.

5   4.   The inositol derivative of claim 1, wherein n is an integer in the range of 3 to 8.

5.   The inositol derivative of claim 1, which is represented by formula (II), (III) or (IV):
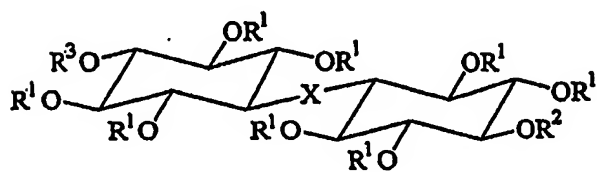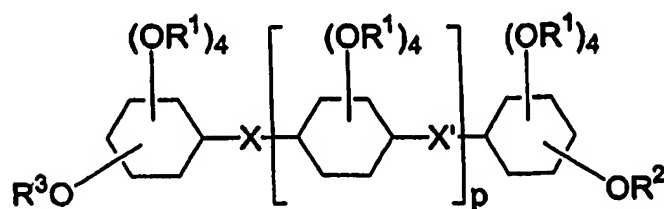
10

(II)

(III)

15   (IV)

wherein R^1, R^2, R^3 and X are the same as defined in claim 1.

6. A method for preparing inositol derivatives of formula (I):


(I), comprising the steps of:

(a) obtaining   intermediates by protecting the hydroxyl groups of *myo-* or *scyllo-*
    inositol;

5   (b) obtaining inositol polymers by coupling two or more of the intermediates
    obtained in step (a);

(c) introducing one or more amino acids to the inositol polymer obtained in step
    (b) by acylation; and

(d) introducing guanidinium groups to the amino acid N-terminal of the inositol

10   polymer, wherein R^1, R^2, R^3, X, X' and p are the same as defined in claim 1.

7. The method of claim 6, wherein the intermediate obtained in step (a) is
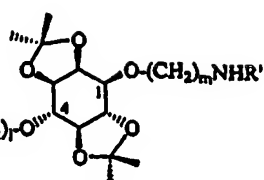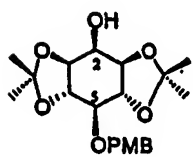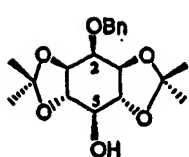selected from the compounds represented by formulae (V) to (XIII):
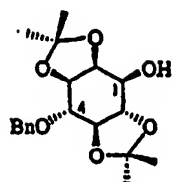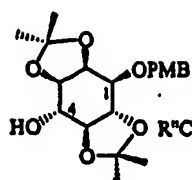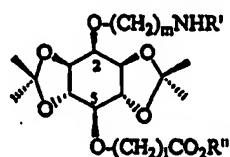
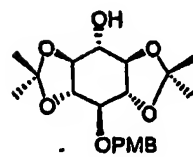(V)   (VI)   (VII)

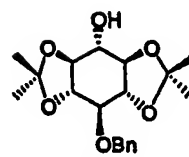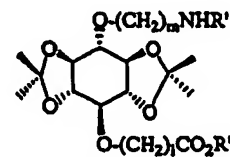(VIII)   (IX)   (X)
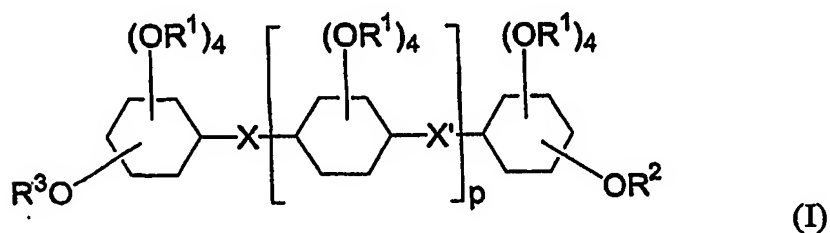

(XI)   (XII)   (XIII)

wherein R', R", l and m are the same as defined in claim 1,

Bn is benzyl, and

PMB is *p*-methoxybenzyl.

5

8.   A composition for delivering a drug or a diagnostic reagent across a biological membrane into a cell or a nucleus, comprising an inositol derivative of formula (I):

(I)

10

wherein R^1, R^2, R^3, X, X' and p are the same as defined in claim 1.

9.   The composition of claim 8, wherein the drug or the diagnostic reagent is an organic compound having a molecular weight ranging from 100 to 1500 g/mol.

10.   The composition of claim 8, wherein the drug or the diagnostic reagent is a polymer compound selected from a peptide and a nucleic acid.

11.   The composition of claim 8, wherein the inositol derivative of formula (I) forms a conjugate through a covalent bond with the drug or the diagnostic reagent.
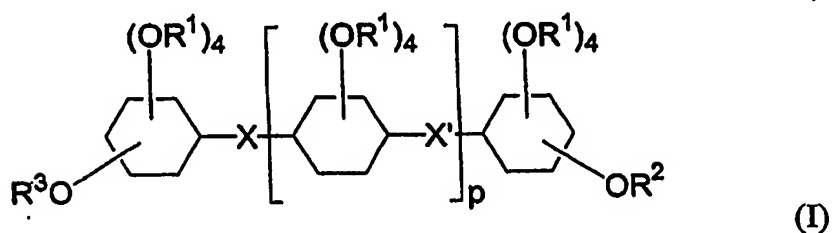
12.   The composition of claim 8, wherein the inositol derivative of formula (I) forms an ionic complex through ionic bonds with the drug or the diagnostic reagent.

13.   A method for delivering a drug or a diagnostic reagent across a biological membrane into a cell or a nucleus, employing, an inositol derivative of formula (I) as a molecular transporter:

(I)

wherein R^1, R^2, R^3, X, X' and p are the same as defined in claim 1.